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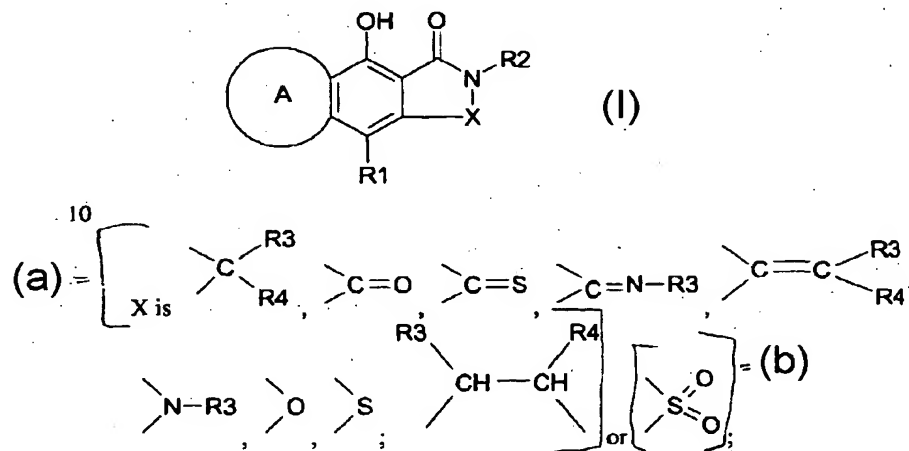
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(54) Title: HIV INTEGRASE INHIBITORS



(57) Abstract: The present invention concerns the compounds having the formula (1), N-oxides, salts, stereoisomeric forms, racemic mixtures, prodrugs, esters and metabolites thereof wherein (a) or (b); A, together with the two carbons of the phenyl ring to which it is attached forms a monocyclic aryl or a monocyclic Het²; R¹ is hydrogen, halo, nitro, cyano, sultam, sultim, C₃₋₇-cycloalkyl, C(=O)-R⁵, S(=O)₂-R⁶, OR⁷, NR⁸R⁹, C(=NR⁸)-R⁵, optionally polysubstituted C₁₋₆alkyl, optionally polysubstituted C₂₋₆alkenyl or optionally polysubstituted C₂₋₆alkynyl; R² is hydrogen, C₃₋₇-cycloalkyl, aryl, Het¹, Het², C(=O)-R⁵, S(=O)₂-R⁶ OR⁷, NR⁸R⁹, C(=NR⁸)-R⁵, or optionally polysubstituted C₁₋₆alkyl, optionally polysubstituted C₂₋₆alkenyl or optionally polysubstituted C₂₋₆alkynyl. It further relates to their use as HIV integrase inhibitors, processes for their preparation as well as pharmaceutical compositions and diagnostic kits comprising them. It also concerns combinations thereof with other anti-retroviral agents, and to their use in assays as reference compounds or as reagents.



GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR). OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

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- of inventorship (Rule 4.17(iv)) for US only

Declarations under Rule 4.17:

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Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	HARTMANN K-H ET AL: "Preparation of naphthoquinone imines as NIR dyes" TETRAHEDRON, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 51, no. 16, 17 April 1995 (1995-04-17), pages 4655-4664, XP004221922 ISSN: 0040-4020 Table 2: compounds 12-17 ----- -/-	3

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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Usue111, A

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP2004/050621

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	KAPPE C O ET AL: "Generation and Subsequent Cycloaddition Chemistry of alpha-Amino Isobenzofurans Formed by Cationic Cyclization" TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 36, no. 51, 18 December 1995 (1995-12-18), pages 9285-9288, XP004026736 ISSN: 0040-4039 Compounds 9a, 16a, 16b,	3
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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